Scheme 2. Synthesis of Mandelate-based Ligands

OR OR OR OR SSO₂CH₃

(i)
$$R^{1} = H$$
 (ii) (v) $R^{1} = H$ (viii) $R^{1} = H$ (viii)

Reagents: (i) Me_2SO_4 , NaOH, H_2O , 37%; (ii) MeOH, H^+ ; (ii) MOM-CI, CH_2CI_2 , Et_3N (90% 2 steps); (iv) For (R)-3: BH_3 , THF, 82%; For (R)-5: $LiBH_4$, THF, 97%; (v) $MeSO_2CI$, CH_2CI_2 , Et_3N ; For (R)-8: 100%; (vi) LiBr, acetone; For (R)-10: 84%; For (R)-11: 78% 2 steps; (vii) $NaSSO_2CH_3$, DMF; For (R)-12: 61%; (viii) TFA, H_2O , 82%.

Fig. 3

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Inventors: Inventors of an Jones, et al.

Title: Grenneally Modified Mu

Gnemically Modified Mutant Serine Hydrolases Show Improved Catalytic Activity and Chiral Selectivity



Scheme 2. Synthesis of Mandelate-based Ligands

OR OR OR OR (iv) OR
$$R^1$$
 (vii) OR R^1 (viii) R^1 (viii) R^1 (R)-1a R = Me (R)-1a R = Mom (R)-3 R = Me, R^1 = H (ii) (v) (R)-7 R = MOM, R^1 = OH (viii) (R)-1b R = H (R)-8 R = Me, R^1 = OSO₂CH₃ (v) (R)-9 R = MOM, R^1 = OSO₂CH₃ (v) (R)-9 R = MOM, R^1 = OSO₂CH₃ (v) (R)-10 R = Me, R^1 = Br (vi) (R)-11 R = MOM, R^1 = Br

Reagents: (i) Me_2SO_4 , NaOH, H_2O , 37%; (ii) MeOH, H^+ ; (iii) MOM-CI, CH_2CI_2 , Et_3N (90% 2 steps); (iv) For (R)-3: BH_3 , THF, 82%; For (R)-5: $LiBH_4$, THF, 97%; (v) $MeSO_2CI$, CH_2CI_2 , Et_3N ; For (R)-8: 100%; (vi) LiBr, acetone; For (R)-10: 84%; For (R)-11: 78% 2 steps; (vii) $NaSSO_2CH_3$, DMF; For (R)-12: 61%; (viii) TFA, H_2O , 82%.